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(54) Title: 6-ARYL PYRIDO[2,3-d]PYRIMIDINES AND NAPHTHYRIDINES FOR INHIBITING PROTEIN TYROSINE KINASE  
MEDIATED CELLULAR PROLIFERATION

7) Abstract

6-Aryl pyrido[2,3-d]pyrimidines and naphthyridines are inhibitors of protein tyrosine kinase, and are thus useful in treating cellular  
proliferation mediated thereby. The compounds are especially useful in treating atherosclerosis, restenosis, psoriasis, as well as bacterial  
infections.

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## INTERNATIONAL SEARCH REPORT

Int'l Patent Application No

PCT/US 95/14700

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D471/04 A61K31/44 A61K31/505 //(C07D471/04,221:00,  
221:00),(C07D471/04,239:00,221:00)

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JOURNAL OF MEDICINAL CHEMISTRY, vol. 26, no. 3, 1983, pages 403-411, XP002000852 C. J. BLANKLEY ET AL.: "Antihypertensive Activity ..." see page 407, Table I, compound 39 ---	1,2,4,14
A	JOURNAL OF MEDICINAL CHEMISTRY, vol. 24, no. 4, 1981, pages 382-389, XP002000853 L. R. BENNETT ET AL.: "Antihypertensive Activity ..." see page 386, Table III, compound no. 1 ---	1,2,4,14
A	US,A,3 534 039 (J. DAVOLL) 13 October 1970 cited in the application see claims ---	1,2,4,14
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

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- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
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Date of the actual completion of the international search

18 April 1996

Date of mailing of the international search report

10.05.96

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# INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 95/14700

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US,A,3 639 401 (R. F. MEYER) 1 February 1972 cited in the application see claims ---	1,2,4,14
A	US,A,4 271 164 (C. J. BLANKLEY ET AL.) 2 June 1981 cited in the application see claims 1-3 -----	1,2,4,14

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 95/ 14700

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 54-70 are directed to a method of treatment of (diagnostic method practised on) the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. Jonal Application No

PCT/US 95/14700

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US-A-3534039	13-10-70	BE-A- 723660 CH-A- 500204 CH-A- 501000 CH-A- 501001 CH-A- 501002 CH-A- 507267 DE-A- 1807887 FR-M- 8247 FR-A- 1594755 GB-A- 1171218 NL-A- 6815963	16-04-69 15-12-70 31-12-70 31-12-70 31-12-70 15-05-71 21-05-70 12-10-70 08-06-70 19-11-69 13-05-69
US-A-3639401	01-02-72	NONE	
US-A-4271164	02-06-81	AT-T- 5968 AU-B- 5733380 EP-A,B 0018151 JP-C- 1457923 JP-A- 55160776 JP-B- 63004538	15-02-84 23-10-80 29-10-80 09-09-88 13-12-80 29-01-88